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[Continued on next page]

## (54) Title: HIV INTEGRASE INHIBITORS

A 
$$R_{1}$$
 (I)

 $R_{1}$  (I)

 $R_{2}$  (I)

 $R_{3}$  (C)

 $R_{4}$  (C)

 $R_{3}$  (C)

 $R_{4}$  (C)

 $R_{4}$  (C)

 $R_{4}$  (A)

 $R_{5}$  (C)

 $R_{6}$  (C)

 $R_{7}$  (A)

(57) Abstract: The present invention concerns the compounds having the formula (I), N-oxides, salts, stereoisomeric forms, racemic mixtures, prodrugs, esters and metabolites thereof wherein (a) or (b); A, together with the two carbons of the phenyl ring to which it is attached forms a monocyclic aryl or a monocyclic Het2; R1 is hydrogen, halo, nitro, cyano, sultam, sulltim, C3-7cycloalkyl,  $C(=O)-R^5$ ,  $S(=O)_y-R^6$ ,  $OR^7$ ,  $NR^8R^9$ ,  $C(=NR^8)-R^5$ , optionally polysubstituted  $C_{1-6}$  alkyl, optionally polysubstituted  $C_{2-6}$  alkenyl or optionally polysubstituted  $C_{1-6}$  alkyl, optionally polysubstituted  $C_{2-6}$  alkenyl or optionally polysubstit tionally polysubstituted  $C_{2-6}$  alkynyl;  $R^2$  is hydrogen,  $C_{3-7}$  cycloalkyl, aryl,  $Het^1$ ,  $Het^2$ ,  $C(=O)-R^5$ ,  $S(=O)_Y-R^6$  OR $^7$ ,  $NR^8R^9$ ,  $C=NR^8$ )- $R^5$ ,  $C=NR^8$ or optionally polysubstituted  $C_{1-6}$  alkyl, optionally polysubstituted  $C_{2-6}$  alkenyl or optionally polysubstituted  $C_{2-6}$  alkynyl. It further relates to their use as HIV integrase inhibitors, processes for their preparation as well as pharmaceutical compositions and diagnostic kits comprising them. It also concerns combinations thereof with other anti-retroviral agents, and to their use in assays as reference compounds or as reagents.





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- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
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